

## INTERNATIONAL SEARCH REPORT

PC. 03/00034

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C275/22 C07C275/24 C07C275/26 C07C275/28 C07C275/30  
 C07C275/32 C07C275/34 C07C275/36 C07C275/42 C07C275/64  
 A61K31/17

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal, BEILSTEIN Data, WPI Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	<p>DATABASE CA 'Online!            CHEMICAL ABSTRACTS SERVICE, COLUMBUS,            OHIO, US;            SATO, HIROYASU ET AL: "Urea            group-containing carboxylic acids and            hypoglycemic and/or hypolipidemic agents            containing them"            retrieved from STN            Database accession no. 137:88463            XP002241119            abstract            &amp; JP 2002 201171 A (TOA EIYO, LTD., JAPAN)            16 July 2002 (2002-07-16)</p> <p style="text-align: center;">--- -/-</p>	1-27



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*Z\* document member of the same patent family

Date of the actual completion of the international search

22 May 2003

Date of mailing of the international search report

06/06/2003

Name and mailing address of the ISA

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## INTERNATIONAL SEARCH REPORT

PC. 03/00034

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE WPI/ DERWENT 'Online! Database accession no. an 1999-229131 '19! XP002241120 abstract & WO 00 12534 A (UNIV NORTHEASTERN OHIO) 9 March 2000 (2000-03-09) *abstract; pages 23-29,34, 36, 37*	1-27
X	WO 01 12187 A (HARGREAVES RODNEY BRIAN ;WHITTAMORE PAUL ROBERT OWEN (GB); ASTRAZE) 22 February 2001 (2001-02-22) *abstract; page 44, example 19*	1,5,10, 11,14, 17,21-27
X	US 4 387 106 A (DE VRIES VERN G ET AL) 7 June 1983 (1983-06-07)	1,5,9, 11-13, 16-19, 21,22, 25-27 1-27
Y	the whole document	
Y	WO 01 60807 A (JONES A BRIAN ;LIU KUN (US); XU LIBO (US); MERCK & CO INC (US)) 23 August 2001 (2001-08-23) *abstract; pages 1-7 and the examples*	1-27
X	US 4 465 509 A (TAKEMATSU TETSUO ET AL) 14 August 1984 (1984-08-14) *abstract; pages 1-7 and the examples* the whole document	1,9-11, 14,16,17
X	RAJU B ET AL: "Solution-phase combinatorial synthesis of ureas using nitrophenylcarbamates" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 8, no. 21, 3 November 1998 (1998-11-03), pages 3043-3048, XP004141872 ISSN: 0960-894X *scheme 1, compounds type 7; table 1*	1,5,6, 9-11,13, 14,16-19
X	DE 14 57 876 A (PATENT CONCERN NV) 29 May 1969 (1969-05-29)  *page 1 and page 14, entries 13 and 14*	1,5, 9-14, 16-19,21
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## INTERNATIONAL SEARCH REPORT

PC. 03/00034

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	NEFZI A ET AL: "An efficient two-step synthesis of mono-, di- and triureas from resin-bound amides" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 41, no. 29, 15 July 2000 (2000-07-15), pages 5441-5446, XP004209489 ISSN: 0040-4039 *compounds type 3, table 1*	1,5, 9-14, 16-19
X	ISHII A ET AL: "HIGHLY SELECTIVE ALDOSE REDUCTASE INHIBITORS. 1. 3-(ARYLALKYL)-2,4,5-TRIOXOIMIDAZOLIDINE-1-ACETIC ACIDS" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 39, no. 9, 1996, pages 1924-1927, XP002064894 ISSN: 0022-2623 *page 1924, first column; scheme 1a, compounds type 3*	5,9-14, 16-19
X	TANAKA, TERASAWA, HAGIHARA ET AL.: "Inhibitors of acyl-CoA:cholesterol O-acyltransferase(ACAT). Part I: Identification and structure-activity relationships of a novel series of substituted N-alkyl-N-biphenylmethyl-N'-arylureas" BIOORGANIC AND MEDICINAL CHEMISTRY, vol. 6, 1998, pages 15-30, XP002241118 the whole document	1,5, 8-14, 16-19, 21,22, 25-27
X	DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 2890655 XP002241121 abstract & VOWINKEL; GLEICHENHAGEN: TETRAHEDRON LETT., 1974, pages 143-146,	1,3,5, 14,15

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## INTERNATIONAL SEARCH REPORT

PC. 03/00034

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 3271886 XP002241122 abstract &amp; LOHMANN: CHEM. BER., vol. 24, 1891, page 2635</p>	1,3,5, 14,15
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 3530969 XP002241123 abstract &amp; GABRIEL: CHEM. BER., vol. 47, 1914, page 3030</p>	1,3,5, 14,15
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 2451140 XP002241124 abstract &amp; SPRINZL, M. ET AL.: TETRAHEDRON LETT., vol. 5, 1969, pages 289-292,</p>	1,3,5, 14,15
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 247670 XP002241125 abstract &amp; KOELZER AND WEHR: ARZNEIM. FORSCH., vol. 9, 1959, pages 113-116,</p>	1,3,5, 14,15
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 2812220 XP002241126 abstract &amp; ADCOCK; LAWSON: J. CHEM. SOC.C, 1966, pages 65-67,</p>	1,5,14, 17

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## INTERNATIONAL SEARCH REPORT

PC. 03/00034

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 3272124 XP002241127 abstract &amp; SCHOEBERL; KAWOHL: MONATSH. CHEM., vol. 88, 1957, pages 478-492,</p>	1,5,14, 17
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 3271854 XP002241128 abstract &amp; GOLDENRING: CHEM. BER., no. 23, 1890, page 1173</p>	1,5,14, 17
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; retrieved from BEILSTEIN, accession no. brn 2860895 XP002241129 abstract &amp; VAN ALPHEN: RECL. TRAV. CHIM. PAYS-BAS, vol. 54, 1935, page 885</p>	1,5,14, 17
X	<p>PAPESCH ET AL: "Synthesis of 1-mono- and 1,3-di-substituted 6-aminouracils. Diuretic activity" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY. EASTON, US, vol. 16, 1951, pages 1879-1890, XP002156529 ISSN: 0022-3263 *table I, the last entry and page 1887, experimental*</p>	1,5,9, 11,17
X	<p>DAVIES ET AL.: "Intramolecular Cyclisation of 2-Phenylethyl Isocyanates" J. CHEM. SOC., PERKIN TRANS 1, 1978, pages 180-184, XP009010939 *compound 13*</p> <p style="text-align: center;">--- -/--</p>	1,5,9, 14,17

## INTERNATIONAL SEARCH REPORT

PC. 03/00034

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DIMMOCK ET. AL.: "Ureylene anticonvulsants and related compounds" PHARMAZIE, vol. 55, no. 7, 2000, pages 490-494, XP001157470 *compounds type 1 and table 1, page 491*	1,5, 9-14, 16-19,21
X	SKINNER AND SHIVE: "N-substituted N'-Phenylureas" J. ORG. CHEM., vol. 25, 1960, pages 2046-2047, XP002241235 *Table 1*	1,5, 9-14, 16-19

# INTERNATIONAL SEARCH REPORT

PCT/US 03/00034

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
see FURTHER INFORMATION sheet PCT/ISA/210
2. ☒ Claims Nos.: 1-26  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

## Continuation of Box I.1

Although claims 22-25 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition, restricted to those compounds according to a)claims 2, 4 and 20 (in part), wherein Y is CH<sub>2</sub> and b)claim 27.

## Continuation of Box I.2

Claims Nos.: 1-26

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that a search over the whole scope of the claims is impossible (some of the documents found are mentioned in the search report).

Furthermore, the present claims relate to a huge variety of different compounds, whereas the application provides support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT for only a very limited number of such products. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Independent of the above reasoning, the claims also lack clarity (Article 6 PCT) because for example the combination Y=C and E=H leads to an inconsistency. Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to the products according to a)claims 2, 4 and 20 (in part), wherein Y is CH<sub>2</sub> and their activity and b)claim 27.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.



## INTERNATIONAL SEARCH REPORT

P. 03/00034

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
JP 2002201171	A	16-07-2002	NONE	
WO 0012534	A	09-03-2000	US 6197834 B1	06-03-2001
			AU 746756 B2	02-05-2002
			AU 5576099 A	21-03-2000
			CA 2342582 A1	09-03-2000
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			US 2002173663 A1	21-11-2002
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			JP 1565956 C	25-06-1990
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			CH 410503 A	31-03-1966
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			DE 1457877 A1	12-02-1970
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			DK 103423 C	27-12-1965
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			GB 993013 A	26-05-1965
			GB 993014 A	26-05-1965